

## OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

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### Sequence & Modification

5'-CCTACTAGCGTTCTCATC-3' (133-1) **SEQ ID NO.: 16 SEQ ID NO.: 14 SEQ ID NO.: 15 SEQ ID NO.: 17 SEQ ID NO.: 13 SEQ ID NO.: 12 SEQ ID NO.: 10 SEQ ID NO.: 11** SEQ ID NO.: 9 SEQ ID NO.: 8

5'-CCTXCTAG<u>CC</u>TTCTCATC-3' (145-10a) 5'-CCTACTAGCGTTCXCATC-3' (139-8) 5'-CCTACTAGCGXTCTCATC-3' (133-5) 5'-CCTACTAGCGTTXTCATC-3' (133-6) 5'-CCTACTXGCGTTCTCATC-3' (139-3) 5'-CCTACXAGCGTTCTCATC-3' (133-3) 5'-CCTAXTAGCGTTCTCATC-3' (139-4) 5'-CCTXCTAGCGTTCTCATC-3' (133-4) 5'-CCTACTAGCGTXCTCATC-3' (139-7) 5'-CCTACTAGCXTTCTCATC-3' (139-2) 5'-CCTACTAXCGTTCTCATC-3' (133-2)

',2'-Dideoxyribose

FIG. 1A

5'-CCTXCTXGCGTTCTCATC-3' (145-10b)

5'-CCTXXTAGCGTTCTCATC-3' (133-12)

**SEQ ID NO.: 18** 

SEQ ID NO.: 19

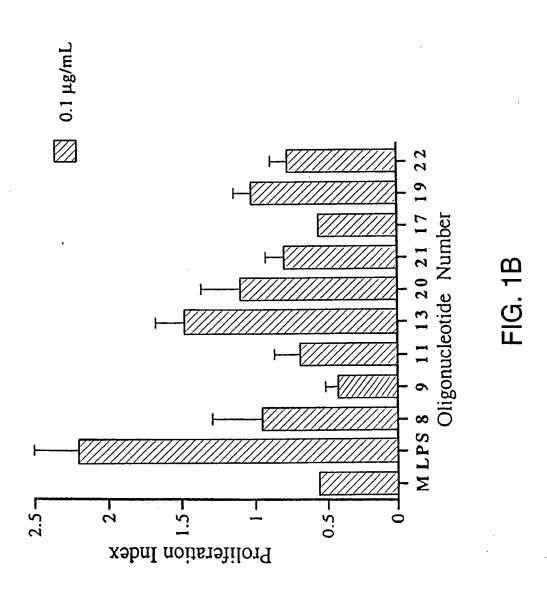
**SEQ ID NO.: 20** 

**SEQ ID NO.: 21** 

**SEQ ID NO.: 22** 

**SEQ ID NO.: 23** 

5'-XXTACTAGCGTTCTCATC-3' (139-6) 5'-CCTACTAGCGTTCXXATC-3' (139-9)



## OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

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#### Sequence & Modification

**SEQ ID NO.: 14 SEQ ID NO.: 15 SEQ ID NO.: 12 SEQ ID NO.: 13** SEQ ID NO.: 10 **SEQ ID NO.: 11** SEQ ID NO.: 8 SEQ ID NO.: 9

**SEQ ID NO.: 16** 

**SEQ ID NO.: 17** 

**SEQ ID NO.: 19 SEQ ID NO.: 18** 

**SEQ ID NO.: 20** 

**SEQ ID NO.: 22 SEQ ID NO.: 21** 

23

**SEQ ID NO.: 23** 

5'-CCTACTAG<u>CG</u>TTCTCATC-3' (133-1)

5'-CCTACTAGCXTTCTCATC-3' (139-2)

5'-CCTACTAXCGTTCTCATC-3' (133-2)

5'-CCTACXAGCGTTCTCATC-3' (133-3) 5'-CCTACTXGCGTTCTCATC-3' (139-3)

5'-CCTAXTAGCGTTCTCATC-3' (139-4)

5'-CCTXCTAG<u>CC</u>TTCTCATC-3' (145-10a) 5'-CCTXCTAGCGTTCTCATC-3' (133-4)

5'-CCTACTAGCGXTCTCATC-3' (133-5)

5'-CCTACTAGCGTXCTCATC-3' (139-7) 5'-CCTACTAGCGTTXTCATC-3' (133-6)

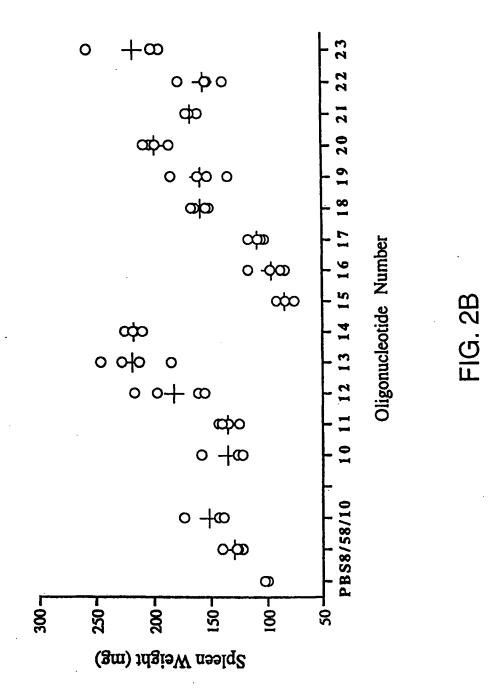
1',2'-Dideoxyribose

5'-CCTACTAGCGTTCXCATC-3' (139-8)

5'-CCTXXTAGCGTTCTCATC-3' (133-12)

5'-XXTACTAGCGTTCTCATC-3' (139-6) 5'-CCTACTAGCGTTCXXATC-3' (139-9) 5'-CCTXCTXGCGTTCTCATC-3' (145-10b)

FIG. 2A



OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Sequence & Modification

Oligo No.

	0-	\$\frac{1}{2}	\ \ \ \ \ \	D-0	0-4-0	~ ) ,n
5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)	5'-CTATCTGAXGTTCTCTGT-3' (131-13)	5'-CTATCTGXCGTTCTCTGT-3' (131-2)	5'-CTATCXGACGTTCTCTGT-3' (131-3)	5'-CTAXCTGACGTTCTCTGT-3' (131-4)	5'-CTATCTGACGXTCTCTGT-3' (131-5)	5'-CTATCTGACGTTXTCTGT-3' (131-6)
<del></del>	8	က	4	2	9	<b>~</b>
SEQ ID NO.: 1	<b>SEQ ID NO.: 105</b>	<b>SEQ ID NO.: 106</b>	<b>SEQ ID NO.: 107</b>	<b>SEQ ID NO.: 108</b>	<b>SEQ ID NO.: 109</b>	SEQ ID NO.: 110

FIG. 3A

1',2'-Dideoxyribose

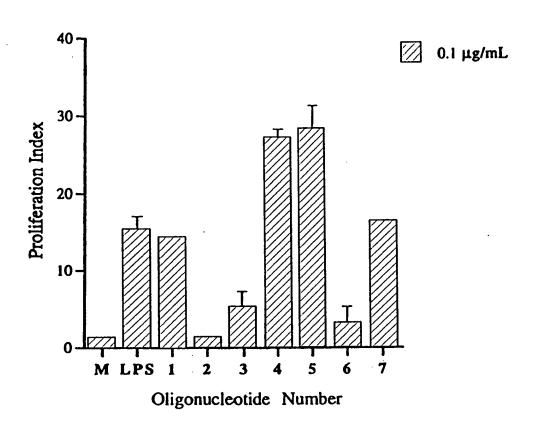


FIG. 3B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

		0- i	^o }	X = 3.	Э-¢	0 4 0	~ } 
Sequence & Modification	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)	5'-CTATCTGAXGTTCTCTGT-3' (131-13)	5'-CTATCTGXCGTTCTCTGT-3' (131-2)	5'-CTATCXGACGTTCTCTGT-3' (131-3)	5'-CTAXCTGACGTTCTCTGT-3' (131-4)	5'-CTATCTGACGXTCTCTGT-3' (131-5)	5'-CTATCTGACGTTXTCTGT-3' (131-6)
Oligo No.	-	N	က	4	ર	9	7
Ö	SEQ ID NO.: 1	<b>SEQ ID NO.: 105</b>	<b>SEQ ID NO.: 106</b>	<b>SEQ ID NO.: 107</b>	<b>SEQ ID NO.: 108</b>	<b>SEQ ID NO.: 109</b>	SEQ ID NO.: 110

FIG. 4A

1',2'-Dideoxyribose

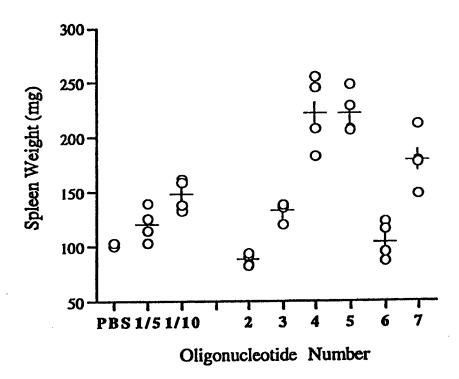
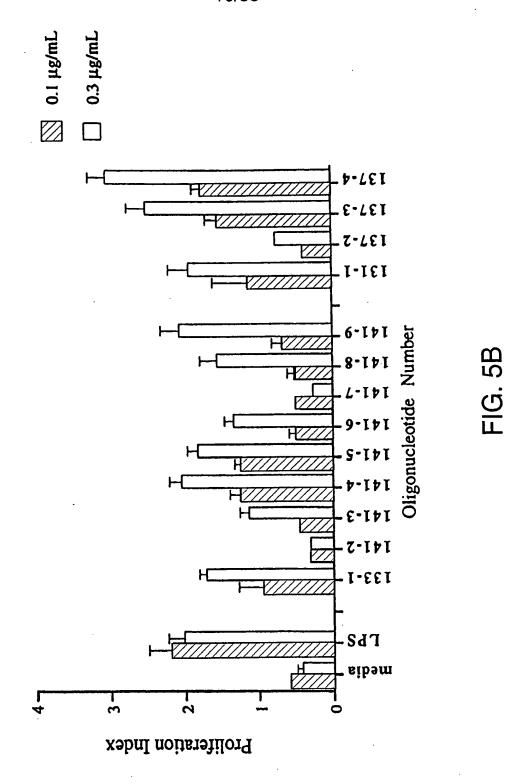


FIG. 4B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

0	Oligo No.	Sequence & Modification
SEQ ID NO.: 8	133-1	5'-CCTACTAGCGTTCTCATC-3'
SEQ ID NO.: 24	141-2	5'-CCTACTAGCXTTCTCATC-3'
SEQ ID NO.: 25	141-3	5'-CCTACTXGCGTTCTCATC-3'
SEQ ID NO.: 26	141-4	5'-CCTAXTAGCGTTCTCATC-3'
SEQ ID NO.: 27	141-5	5'-CCTXXTAGCGTTCTCATC-3'
SEQ ID NO.: 28	141-6	5-XXTACTAGCGTTCTCATC-3'
SEQ ID NO.: 29	141-7	5'-CCTACTAGCGTXCTCATC-3'
SEQ ID NO.: 30	141-8	5'-CCTACTAGCGTTCXCATC-3' 5'-CCTACTAGCGTTCXCATC-3'
SEQ ID NO.: 31	141-9	5'-CCTACTAGCGTTCXXATC-3'
SEQ ID NO.: 1	131-1	5'-CTATCTGACGTTCTCTGT-3'
SEQ ID NO.: 32	137-2	5'-CTATCTGXCGTTCTCTGT-3'
SEQ ID NO.: 33	137-3	5'-CTATCXGACGTTCTCTGT-3'
SEQ ID NO.: 34	137-4	5'-CTAXCTGACGTTCTCTGT-3'

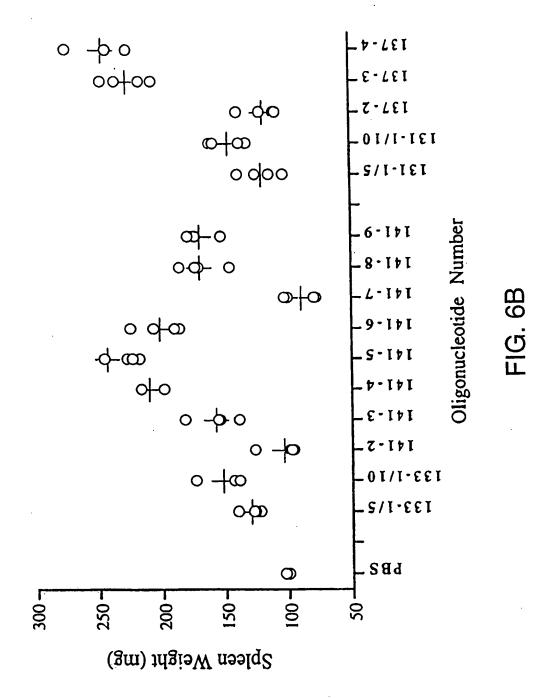
FIG. 5A



OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

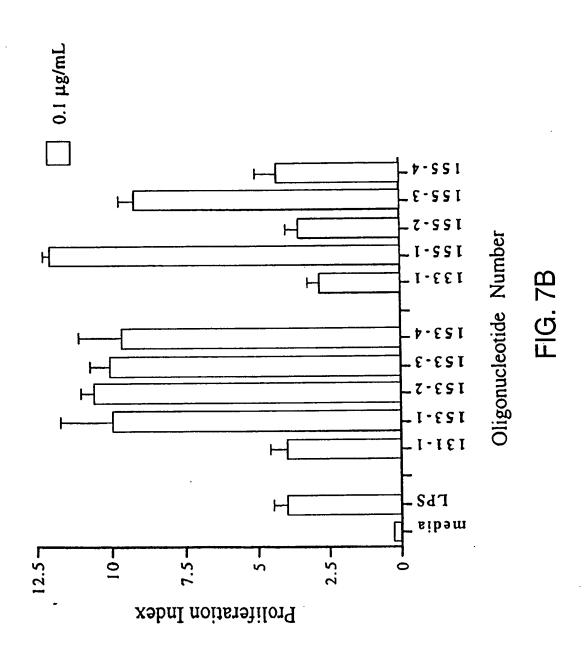
IO	Oligo No.	Sequence & Modification
SEQ ID NO.: 8	133-1	5'-CCTACTAGCGTTCTCATC-3'
<b>SEQ ID NO.: 24</b>	141-2	5'-CCTACTAGCXTTCTCATC-3'
SEQ ID NO.: 25	141-3	5-CCTACTXGCGTTCTCATC-3'
SEQ ID NO.: 26	141-4	5'-CCTAXTAGCGTTCTCATC-3'
SEQ ID NO.: 27	141-5	5'-CCTXXTAGCGTTCTCATC-3'
SEQ ID NO.: 28	141-6	5-XXTACTAGCGTTCTCATC-3'
SEQ ID NO.: 29	141-7	5'-CCTACTAGCGTXCTCATC-3'
SEC ID NO: 30	141-8	5'-CCTACTAGCGTTCXCATC-3' 05
SEQ ID NO.: 31	141-9	5'-CCTACTAGCGTTCXXATC-3' S'CCTACTAGCGTTCXXATC-3'
	131-1	5'-CTATCTGACGTTCTCTGT-3'
SECTIONO: 32	137-2	5'-CTATCTGXCGTTCTCTGT-3'
SEQ ID NO.: 33	137-3	5'-CTATCXGACGTTCTCTGT-3'
SEQ ID NO.: 34	137-4	5'-CTAXCTGACGTTCTCTGT-3'

FIG. 6A



OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

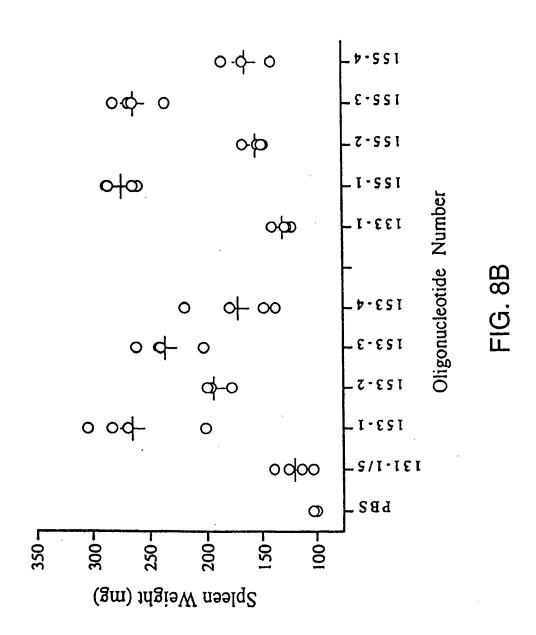
No. Sequence & Modification	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)	5'-CTAXCTGACGTTCTCTGT-3' (153-1) 5'-CTATCTGACGTTCXCTGT-3' (153-2)	5'-CTAYCTGACGTTCTCTGT-3' (153-3)	5'-CTACTAGCGTTCTCATC-3' (133-1)	5'-CCTXCTAGCGTTCTCATC-3' (155-1)	5'-CCTACTAGCGTTCXCATC-3' (155-2)		5'-CCTACTAGCGTTCYCATC-3' (155-4)	~ ~ ~ ~ ~ ~ = X	Spacer9 Spacer9 So }	Y = 1,0 000 000 000 000 000 000 000 000 000
Oligo No.	<del>y</del> (	ი ი	4 4	<b>,</b> 9	^	<b>©</b>	တ	5	×	Spa	Space S
	SEQ ID NO.: 1	SEQ ID NO.: 35 SEQ ID NO.: 36	SEQ ID NO.: 37	SEQ ID NO.: 8	SEQ ID NO.: 39	SEQ ID NO.: 40	SEQ ID NO.: 41	SEQ ID NO.: 42			



## OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Sequence & Modification	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)	5'-CTAXCTGACGTTCTCTGT-3' (153-1)	5'-CTATCTGACGTTCXCTGT-3' (153-2)	5'-CTAYCTGACGTTCTCTGT-3' (153-3)	5'-CTATCTGACGTTCYCTGT-3' (153-4)	5'-CCTACTAGCGTTCTCATC-3' (133-1)	5'-CCTXCTAGCGTTCTCATC-3' (155-1)	5'-CCTACTAGCGTTCXCATC-3' (155-2)	5'-CCTYCTAGCGTTCTCATC-3' (155-3)	5'-CCTACTAGCGTTCYCATC-3' (155-4)	
Oligo No.	SEQ ID NO.: 1 1	SEQ ID NO.: 35 2	SEQ ID NO.: 36 3	SEQ ID NO.: 37 4	SEQ ID NO.: 38 5	SEQ ID NO.: 8 6	SEQ ID NO.: 39 7	SEQ ID NO.: 40 8	SEQ ID NO.: 41 9	SEQ ID NO.: 42 10	

$$X = X_{0}$$
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$$Y = X_{0}$$
Spacer18
$$Y = X_{0}$$



OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

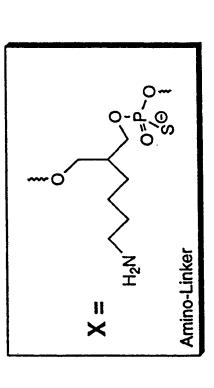
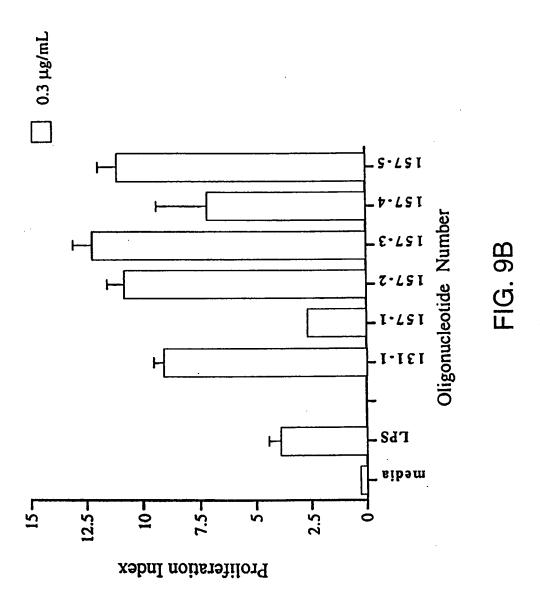


FIG. 9A



OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

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& Modification

SEQ ID NO.: 1

**SEQ ID NO.: 43** 

**SEQ ID NO.: 44** 

**SEQ ID NO.: 45** 

**SEQ ID NO.: 46** 

**SEQ ID NO.: 47** 

5'-CTATCTGACGTTCTCTGT-3' (131-1) 5'-CTATCTGXCGTTCTCTGT-3' (157-1) 5'-CTATCTGACGTXCTCTGT-3' (157-4) 5'-CTAXCTGACGTTCTCTGT-3' (157-3) 5'-CTATCTXACGTTCTCTGT-3' (157-2)

5'-CTATCTGACGTTCXCTGT-3' (157-5)

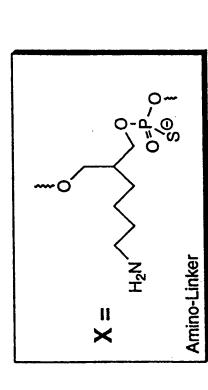


FIG. 10A

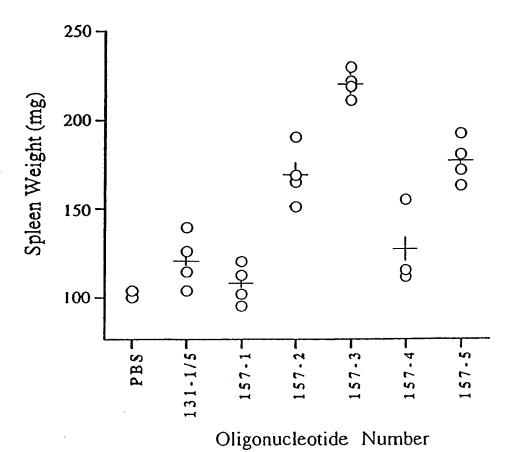


FIG. 10B

# OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

Sequence & Modification	
Oligo No.	

SEQ ID NO.: 1 131-1 5'-CTATCTGACGTTCTCTGT-3'

5'-CTATCTGACXTTCTCTGT-3'

5'-CTATCTGAXGTTCTCTGT-3' 5'-CTATXTGACGTTCTCTGT-3'

SEQ ID NO.: 49 159-2

SEQ ID NO.: 48 159-1

SEQ ID NO.: 50 159-3

SEQ ID NO.: 51 159-4

5'-CTATCTGACGTTCTXTGT-3'

5'-CCTACTAGCGTTCTCATC-3' 5'-CCTACTAGCXTTCTCATC-3'

5'-CCTACTAGXGTTCTCATC-3'

SEQ ID NO.: 53 161-2

SEQ ID NO.: 52 161-1

SEQ ID NO.: 8 133-1

**SEQ ID NO.: 54 161-3** 

SEQ ID NO.: 55 161-4

**SEQ ID NO.: 56 161-5** 

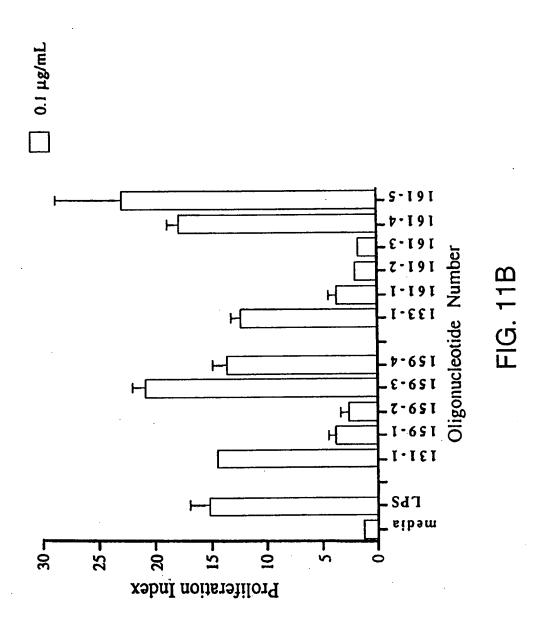
5'-CCTACTAXCGTTCTCATC-3'

5'-CCTAXTAGCGTTCTCATC-3'

5'-CCTACTAGCGTTCTXATC-3'

3'-Deoxynucleoside

FIG. 11A

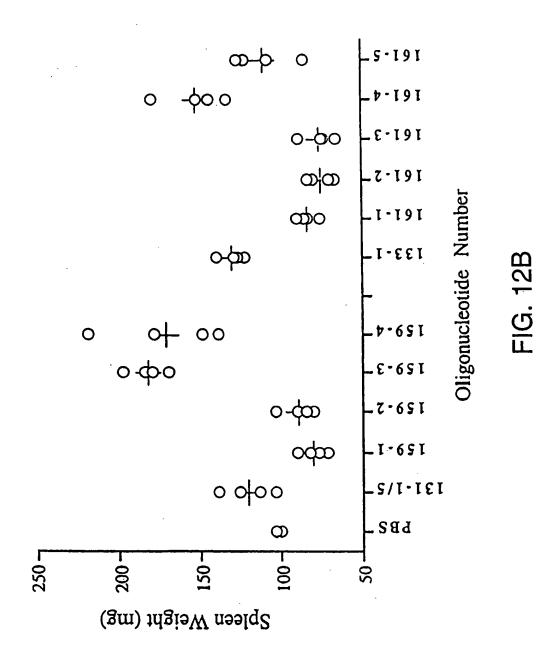


OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

Olioo No	Segmence & Modification	
SEQ ID NO.: 1 131-1	5'-CTATCTGACGTTCTCTGT-3'	
SEQ ID NO.: 48 159-1	5'-CTATCTGACXTTCTCTGT-3'	
SEQ ID NO.: 49 159-2	5'-CTATCTGAXGTTCTCTGT-3'	X = 5' 0 B
SEQ ID NO.: 50 159-3	5'-CTATXTGACGTTCTCTGT-3'	3
SEQ ID NO.: 51 159-4	5'-CTATCTGACGTTCTXTGT-3'	)-4 <u>"</u> 0
		S (5) OS
SEQ ID NO.: 8 133-1	5'-CCTACTAGCGTTCTCATC-3'	
SEQ ID NO.: 52 161-1	5'-CCTACTAGCXTTCTCATC-3'	o
SEQ ID NO.: 53 161-2	5'-CCTACTAGXGTTCTCATC-3'	3'-Deoxynucleoside
SEQ ID NO.: 54 161-3	5'-CCTACTAXCGTTCTCATC-3'	
SEQ ID NO.: 55 161-4	5'-CCTAXTAGCGTTCTCATC-3'	
SEQ ID NO.: 56 161-5	5'-CCTACTAGCGTTCTXATC-3'	

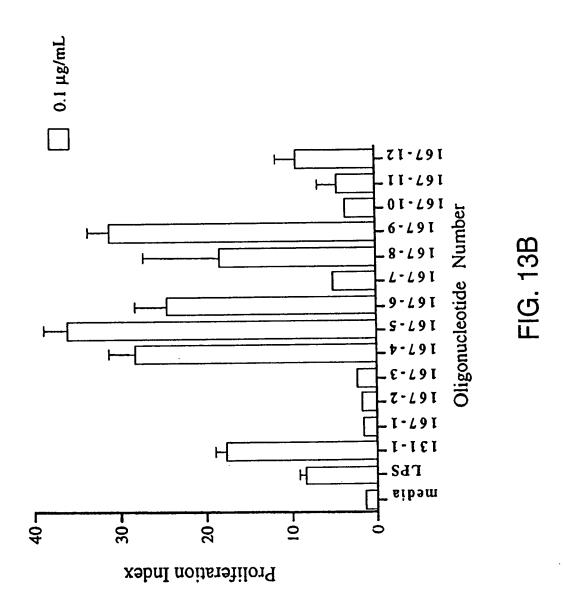
23/53

FIG. 12A



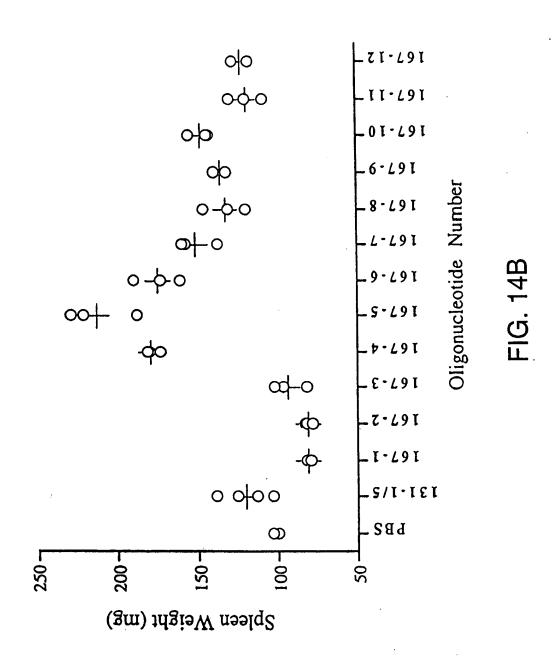
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lO .	Oligo No.	Sequence & Modification
SEQ ID NO.: 1	131-1	5'-CTATCTGACGTTCTCTGT-3'
SEO ID NO - 57	167-1	5'-CTATCTGXCGTTCTCTGT-3'
SEC ID NO: 58	167-2	S = CTATCTXACGTTCTCTGT-3' $X = 5'$
SEQ ID NO.: 59	167-3	5'-CTATCXGACGTTCTCTGT-3'
SEQ ID NO.: 60	167-4	5'-CTATXTGACGTTCTCTGT-3'
SEQ ID NO.: 61	167-5	5'-CTAXCTGACGTTCTCTGT-3'
SEQ ID NO.: 62	167-6	5'-CTXXCTGACGTTCTCTGT-3' Methyl-
SEQ ID NO.: 63	167-7	5'-CTATCTGACGXTCTCTGT-3'
SEQ ID NO.: 64	167-8	5'-CTATCTGACGTXCTCTGT-3'
SEQ ID NO.: 65	167-9	5'-CTATCTGACGTTXTCTGT-3'
SEQ ID NO.: 66	167-10	5'-CTATCTGACGTTCXCTGT-3'
SEQ ID NO.: 67	16711	5'-CTATCTGACGTTCTXTGT-3'
SEQ ID NO.: 68	167-12	5'-CTATCTGACGTTCTXXGT-3'



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Ō	Oligo No.	Sequence & Modification
SEQ ID NO.: 1	131-1	5'-CTATCTGACGTTCTCTGT-3'
SEQ ID NO.: 57	167-1	5'-CTATCTGXCGTTCTCTGT-3'
SEQ ID NO.: 58	167-2	$S'-CTATCTXACGTTCTCTGT-3'$ $X = 5' \times 0$
SEQ ID NO.: 59	167-3	5'-CTATCXGACGTTCTCTGT-3'
SEQ ID NO.: 60	167-4	5'-CTATXTGACGTTCTCTGT-3'
SEQ ID NO.: 61	167-5	5'-CTAXCTGACGTTCTCTGT-3'
SEQ ID NO.: 62	167-6	5'-CTXXCTGACGTTCTCTGT-3' Methyl-phospho
SEQ ID NO.: 63	167-7	5'-CTATCTGACGXTCTCTGT-3'
SEQ ID NO.: 64	167-8	5'-CTATCTGACGTXCTCTGT-3'
SEQ ID NO.: 65	167-9	5'-CTATCTGACGTTXTCTGT-3'
SEQ ID NO.: 66 167-10	167-10	5'-CTATCTGACGTTCXCTGT-3'
SEQ ID NO.: 67	16711	5'-CTATCTGACGTTCTXTGT-3'
SEQ ID NO.: 68 167-12	167-12	5'-CTATCTGACGTTCTXXGT-3'



#### Sequence & Modification Oligo No.

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

5'-TCCATGACGTTCCTGATGC-3'
113-1
SEQ ID NO.: 69

SEQ ID NO.: 71 23-3

SEQ ID NO.: 72 29-2

 $R_X = 2$ '-O-Methylribonucleoside Ry = 2'-O-Methoxyethoxyribonucleoside

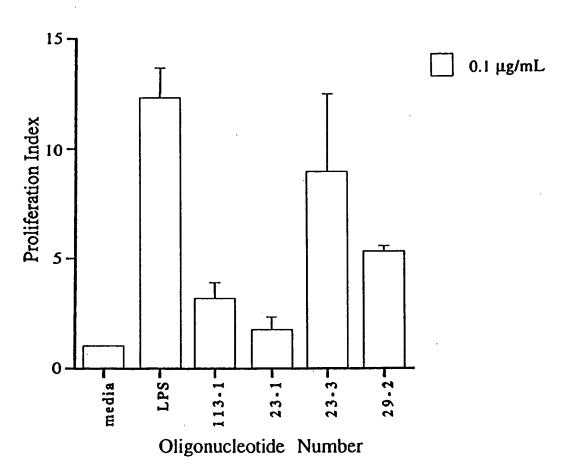


FIG. 15B

 $R_X = 2$ '-O-Methylribonucleoside Ry = 2'-O-Methoxyethoxyribonucleoside

## OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

#### Sequence & Modification Oligo No.

5'-TCCATGACGTTCCTGATGC-3' SEQ ID NO.; 69 113-1

5'-TCCATGXCGTTCCTGATGC-3' SEQ ID NO.: 70 23-1

5'-TCCAXGACGTTCCTGATGC-3' SEQ ID NO.: 71 23-3

5'-TYYATGACGGTCCTGATGC-3' SEQ ID NO.: 72 29-2

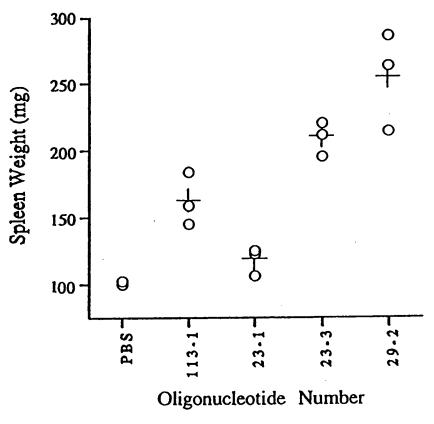
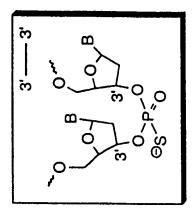
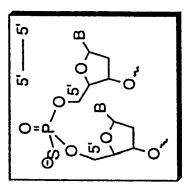


FIG. 16B

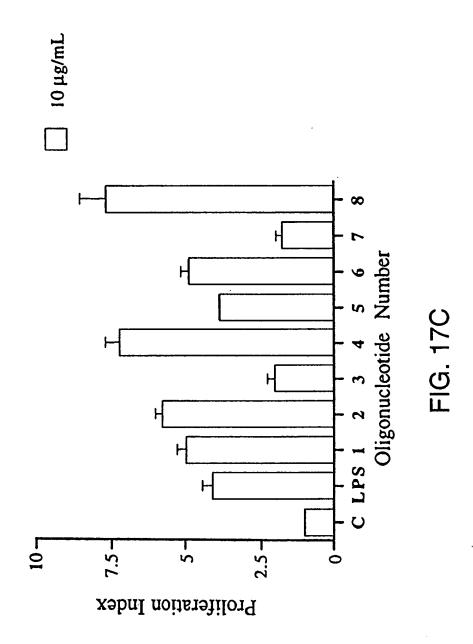
OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

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Ö	Oligo No.	Sequence & Modification
SEQ ID NO.: 73	-	5'-GAGAACGCTCGACCTT-3'
SEQ ID NO.: 74	8	5'-GAGAACGCTCGACCTT-3'5'-GAGAACGCTCGACCTT-3'
SEQ ID NO.: 75	ო	3'-TTCCAGCTCGCAAGAG-5'-GAGAACGCTCGACCTT-3'
SEQ ID NO.: 76	4	5'-GAGAACGCTCGACCTT-3' 3'-TTCCAGCTCGCAAGAG-5'
SEQ ID NO.: 77	ល	5'TCTCCCAGCGTGCGCCAT-3'
SEQ ID NO.: 78	9	5-TCCCAGCGTGCGCCAT-3' 5'-TCCCAGCGTGCGCCAT-3'
SEQ ID NO.: 79	7	3-TACCGCGTGCGACCCT-5' 5'-TCCCAGCGTGCGCCAT-3'
SEQ ID NO.: 80	<b>∞</b>	5-TCCCAGCGTGCGCCAT-3' 3'-TACCGCGTGCGACCCT-5'





-1G. 17B



# OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

Oligo No.	Sequence & Modification	
SEQ ID NO.: 1 131-1	5'-CTATCTGACGTTCTCTGT-3'	
SEQ ID NO.: 81 175-1	5'-CTATXTGACGTTCTCTGT-3'	<b>V</b> O-
SEQ ID NO.: 82 175-2	5'-CTATCTGACGTTCXCTGT-3'	$X = \frac{B}{A}$
SEQ ID NO.: 83 175-3	5'-CTAXXTGACGTTCTCTGT-3'	<b></b> °
SEQ ID NO.: 84 175-4	5'-CTATCTGACGTTCXXTGT-3'	0-4=0
SEQ ID NO.: 85 175-5	5'-CTATCTGAXXTTCTCTGT-3'	SO SO S
SEQ ID NO.: 86 175-6	5'-CTATCTXACGTTCTCTGT-3'	$\beta$ -L-Deoxynucleoside 3'
SEQ ID NO.: 87 175-7	5'-CTATCTGACGTXCTCTGT-3'	j
SEQ ID NO.: 88 175-9	5'-CTATCTGACGTTCTCTGT-3' (all-β-L-DNA/PS)	L-DNA/PS)

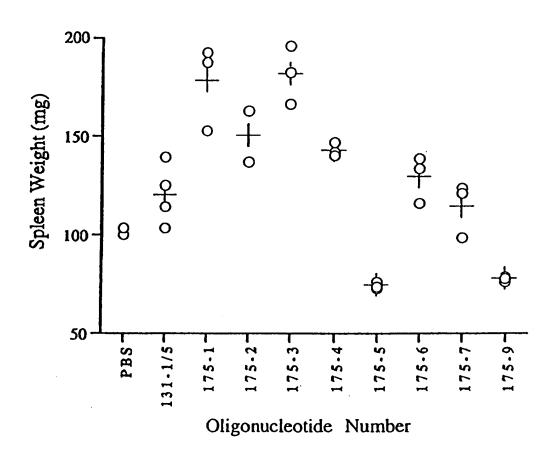


FIG. 18B

Oligo No.

Sequence & Modification

SEQ ID NO.: 1 131-1

SEQ ID NO.: 89 173-1

SEQ ID NO.: 90 173-2

5'-CTATCTGACGTTCTCTGT-3' 5'-CTATXTGACGTTCTCTGT-3'

5'-CTATCTGACGTTCTXTGT-3'

2'-O-Propargyl-ribonucleoside

38/53

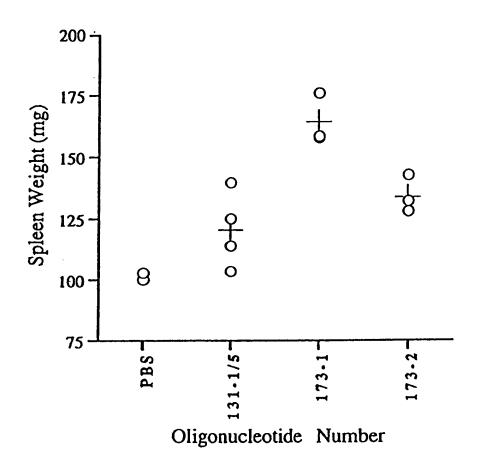


FIG. 19B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

}		
0	Oligo No.	Sequence & Modification
SEQ ID NO.: 8	133-1	5'-CCTACTAGCGTTCTCATC-3'
SEQ ID NO.: 91	143-1	5'-CCTXXTAGCGTTCTCATC-3'
SEQ ID NO.: 92	143-2	5'-CCTYYTAGCGTTCTCATC-3'
SEQ ID NO.: 93	143-3	5'-CCTZZTAGCGTTCTCATC-3'
SEQ ID NO.: 94	143-4	5'-CCTXXTAGCGTVCTCATC-3'
SEQ ID NO.: 95	143-5	5'-CCTACTAGGCTTCTCATC-3'

FIG. 20A

X =1',2'-Dideoxyribose Y = C3-Linker Z = 3'-OMe V = 2'-OMe

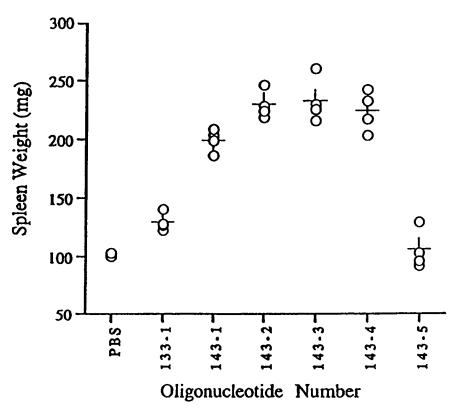


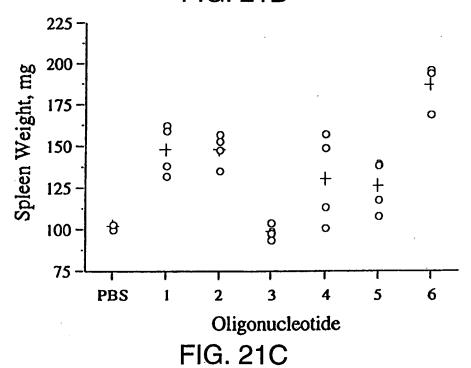
FIG. 20B

42/53
OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

	Olig	o No.	Sequence & Modification
SEQ ID NO.:	: 1	1	5'-CTATCTGACGTTCTCTGT-3' (131-1)
SEQ ID NO.:		2	5'-CTATCTGACG*TTCTCTGT-3' (E 633L)
SEQ ID NO .:	97	3	5'-CTATCTGAG*CTTCTCTGT-3' (E 636)
SEQ ID NO .:	98	4	5'-TCTCCCAG <u>CG</u> TG <u>CG</u> CCAT-3'
SEQ ID NO .:	99	5	5'-TCTCCCAG <u>CG*</u> TG <u>CG*</u> CCAT-3' (E 603)
SEQ ID NO.:	100	6	5'-CTATXTGACG*TTCTCTGT-3' (E 639L)

FIG. 21A

FIG. 21B



OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Oligo No.

Sequence & Modification

SEQ ID NO.: 1

**SEQ ID NO.: 101** 

**SEQ ID NO.: 102** 

5'-CTATCTGA<u>CG\*</u>TTCTCTGT-3' (E 682) 5'-CTATCTGACGTTCTCTGT-3' (131-1)

5'-CTATCTGAG\*CTTCTCTGT-3' (E 683)

G\* = 6-thioguanine

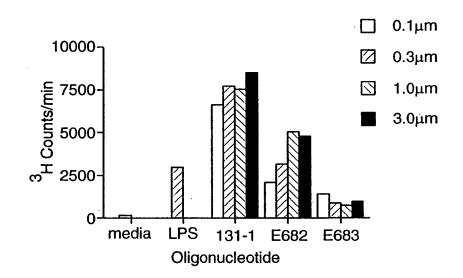


FIG. 22B

45/53

NH-CH<sub>2</sub>-CH<sub>3</sub>

C\* = 5-hydroxy-cytosine C\*\* = N4-ethyl-cytosine

Sequence & Modification Oligo No.

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

SEQ ID NO.: 1 1 S'-CTATCTGACGTTCTCTGT-3'

S-CTATCTGAC\*GTTCTCTGT-3' 7 SEQ ID NO.: 2 S'-CTATCTGACC\*TTCTCTGT-3' SEQ ID NO.: 3 5'-CTATCTGAC\*\*GTTCTCTGT-3' 4 SEQ ID NO.: 4 5-CTATCTGACC\*\*TTCTCTGT-3' S SEQ ID NO.: 5

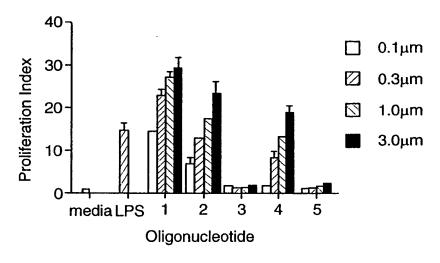


FIG. 23B

## OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

## Sequence & Modification Oligo No.

SEQ ID NO.: 1 1 S'-CTATCTGACGTTCTCTGT-3'	SEQ ID NO.: 2 2 5'-CTATCTGAC*GTTCTGT-3'	SEQ ID NO.: 3 3 5'-CTATCTGACC*TTCTCTGT-3'	SEQ ID NO.: 4 4 5'-CTATCTGAC**GTTCTCTGT-3'	SEQ ID NO.: 5 5 5'-CTATCTGACC**TTCTCTGT-3'
SEQ ID NO.: 1	SEQ ID NO.: 2	SEQ ID NO.: 3	SEQ ID NO.: 4	SEQ ID NO.: 5

$$NH_{2}$$

$$NH_{3}$$

$$NH_{4}$$

$$NH_{3}$$

$$NH_{4}$$

$$NH_{5}$$

$$N$$

## FIG. 24A

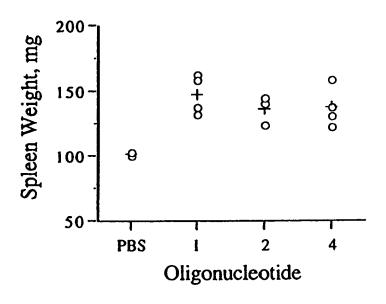


FIG. 24B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

: \$55

ation	NH <sub>2</sub>	3T-3'	GT-3'	GT-3'
Sequence & Modification		5'-CTATCTGACGTTCTCTGT-3'	5'-CTATCTGAC*GTTCTCTGT-3'	5'-CTATCTGACC*TTCTCTGT-3'
Oligo No.		_	2	က
jijO		SEQ ID NO.: 1	SEQ ID NO.: 111	SEQ ID NO.: 112

FIG. 25A

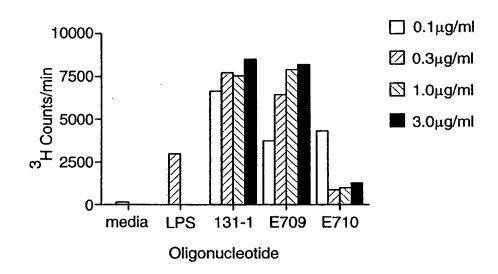


FIG. 25B

51/53

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Sequence & Modification

Oligo No.

X = 1,2-Dideoxyribose

S'-CTATXTGAC\*GTTCTCTGT-3' (E 653)

SEQ ID NO.: 3 104

S'-CTATCTGAC\*GTTCTCTGT-3' (E 647)

7

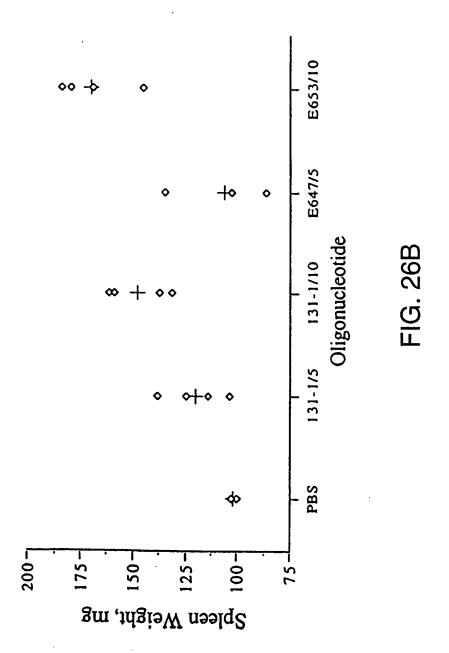
SEQ ID NO.: ,

SEQ ID NO.:

S-CTATCTGACGTTCTCTGT-3' (131-1)

C\* = 4-Thiouracil

FIG. 26A



53/53
$$\begin{array}{c}
SH_{2} \\
S \\
S \\
S
\end{array}$$
NH<sub>2</sub>

$$\begin{array}{c}
S \\
S \\
S
\end{array}$$
FIG. 27